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(FILE 'HOME' ENTERED AT 15:51:45 ON 15 SEP 2005)

FILE 'REGISTRY' ENTERED AT 15:51:51 ON 15 SEP 2005

L5 STR  
L6 50 SEA SSS SAM L5  
L7 1280 SEA SSS FUL L5  
L8 STR  
L9 52 SEA SUB=L7 SSS FUL L8

FILE 'HCAPLUS' ENTERED AT 15:55:26 ON 15 SEP 2005

L10 10 SEA ABB=ON PLU=ON L9  
D STAT QUE L10  
D IBIB ABS HITSTR L10 1-10

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 SEP 2005 HIGHEST RN 863180-19-2

DICTIONARY FILE UPDATES: 14 SEP 2005 HIGHEST RN 863180-19-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
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\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

FILE HCAPLUS

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FILE COVERS 1907 - 15 Sep 2005 VOL 143 ISS 12  
FILE LAST UPDATED: 14 Sep 2005 (20050914/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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FILE 'HCAPLUS' ENTERED AT 15:55:26 ON 15 SEP 2005  
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FILE COVERS 1907 - 15 Sep 2005 VOL 143 ISS 12  
FILE LAST UPDATED: 14 Sep 2005 (20050914/ED)

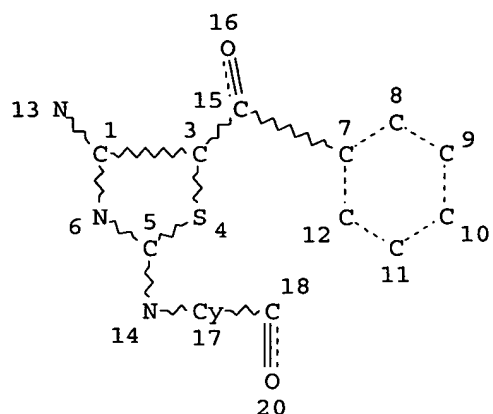
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> d stat que l10  
L5 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

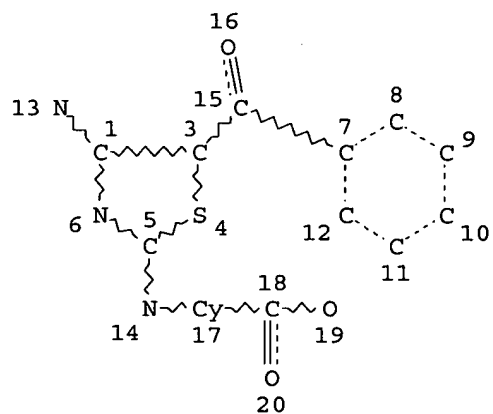
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NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L7 1280 SEA FILE=REGISTRY SSS FUL L5

L8 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L9 52 SEA FILE=REGISTRY SUB=L7 SSS FUL L8

L10 10 SEA FILE=HCAPLUS ABB=ON PLU=ON L9

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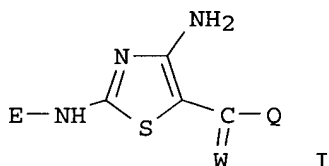
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L10 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2005:612019 HCAPLUS  
 DOCUMENT NUMBER: 143:92536  
 TITLE: Preparation of 2,4-diaminothiazole derivatives as  
 plant growth regulators  
 INVENTOR(S): Bastiaans, Henricus M. M.; Donn, Guenter; Knittel,  
 Nathalie; Martelletti, Arianna; Rees, Richard;  
 Schwall, Michael; Whitford, Ryan  
 PATENT ASSIGNEE(S): Bayer Cropscience G.m.b.H., Germany  
 SOURCE: PCT Int. Appl., 60 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063022	A1	20050714	WO 2004-EP14262	20041215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1550372	A1	20050706	EP 2003-29844	20031224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			EP 2003-29844	A 20031224
			EP 2004-11253	A 20040512

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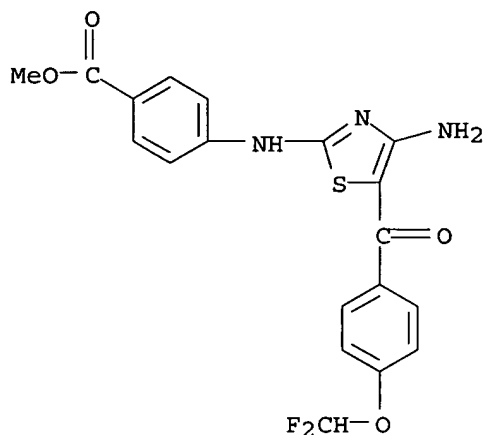


AB The 2,4-diaminothiazole derivs. I [E = (un)substituted alkyl, alkenyl, alkynyl, furfuryl, isoxazolyl, etc.; W =, O, NOH. etc.; Q = (un)substituted cycloalkyl, cycloalkylalkyl, aryl, etc.] are prepared as plant growth regulators.

IT **856007-91-5P**  
 RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation as plant growth regulator)

RN 856007-91-5 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-[4-(difluoromethoxy)benzoyl]-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:582483 HCAPLUS

DOCUMENT NUMBER: 143:73303

TITLE: Preparation of 2,4-diaminothiazole derivatives as plant growth regulators

INVENTOR(S): Bastiaans, Henricus M. M.; Donn, Guenter; Knittel, Nathalie; Martelletti, Arianna; Rees, Richard; Schwall, Michael; Whitford, Ryan

PATENT ASSIGNEE(S): Bayer CropScience G.m.b.H., Germany

SOURCE: Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

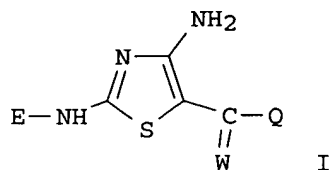
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1550372	A1	20050706	EP 2003-29844	20031224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
WO 2005063022	A1	20050714	WO 2004-EP14262	20041215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2003-29844 A 20031224  
EP 2004-11253 A 20040512

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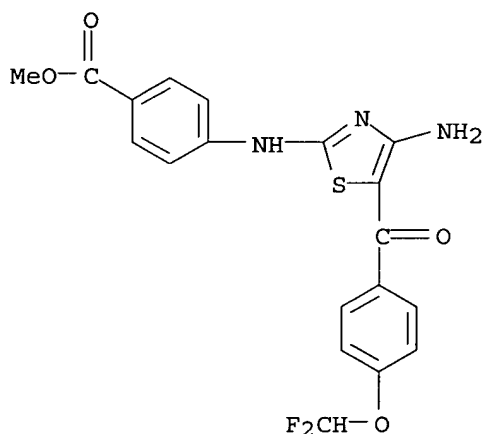
AB The 2,4-diamino-5-substituted-thiazole derivs. I [E = alkyl, alkenyl, alkynyl, alkoxy carbonyl, Ph, pyridinyl, etc.; W = O, NOH, etc.; Q = (un)substituted cycloalkyl, cycloalkylalkyl, etc.] are prepared as plant growth regulators.

IT 856007-91-5P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation as plant growth regulator)

RN 856007-91-5 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-[4-(difluoromethoxy)benzoyl]-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:140809 HCAPLUS

DOCUMENT NUMBER: 142:240423

TITLE: A preparation of antiproliferative  
2-(heteroaryl)aminothiazole derivatives

INVENTOR(S): Chong, Wesley Kwan Mung; Duvadie, Rohit Kumar; Li, Lin; Yang, Yi

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 37 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005038078	A1	20050217	US 2003-639219	20030811
US 2005176773	A1	20050811	US 2005-105939	20050413
PRIORITY APPLN. INFO.:			US 2003-639219	A3 20030811
OTHER SOURCE(S):	MARPAT 142:240423			
GI				

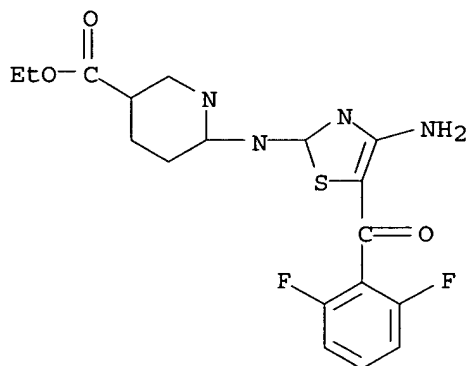
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to a preparation of 2-(heteroaryl)aminothiazole derivs. of formula I [wherein: R1 is H, alk(en/yn)yl, alkylamino, aryl, or cycloalkyl; R2 and R5 are independently selected from H, halogen, alkyl, NH2, SMe, or NO2, etc.; R3 and R4 are independently selected from H, halogen, methoxy, or alkyl], useful as antiproliferative agents. For instance, nicotinamide derivative II (inhibition of HCT-116 cell growth: IC50 = 0.007  $\mu$ M) was prepared via amidation of nicotinic acid derivative III by (N-methyl-pyrrolidin-2S-yl)methylamine with a yield of 60%.

IT 657410-74-7P 657410-75-8P 657410-83-8P  
657410-84-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of antiproliferative 2-(heteroaryl)aminothiazole derivs.)

RN 657410-74-7 HCAPLUS

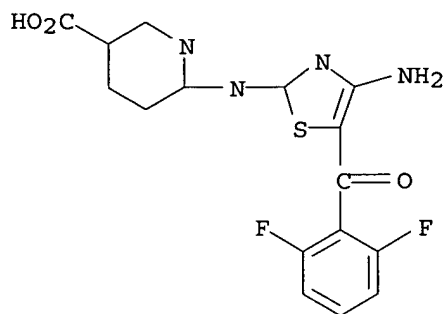
CN 3-Pyridinecarboxylic acid, 6-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 657410-75-8 HCAPLUS

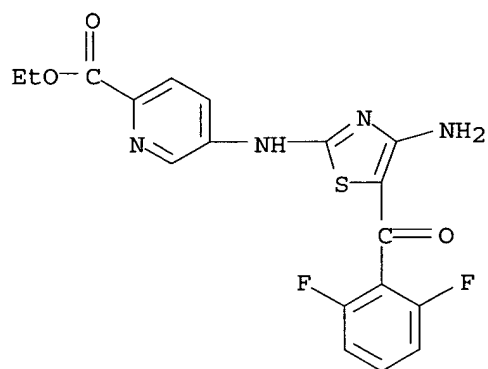
CN 3-Pyridinecarboxylic acid, 6-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

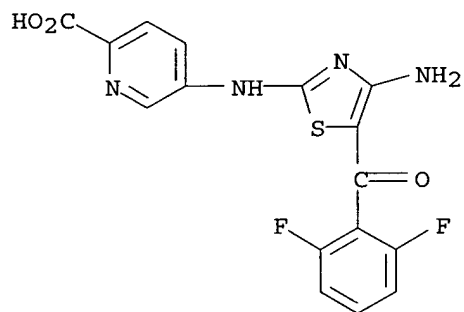
RN 657410-83-8 HCAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 657410-84-9 HCAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)



L10 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:99173 HCAPLUS

DOCUMENT NUMBER: 142:197575

TITLE: Process for preparation of chiral 1,2-diaminopropanes and thiazole compounds containing them.

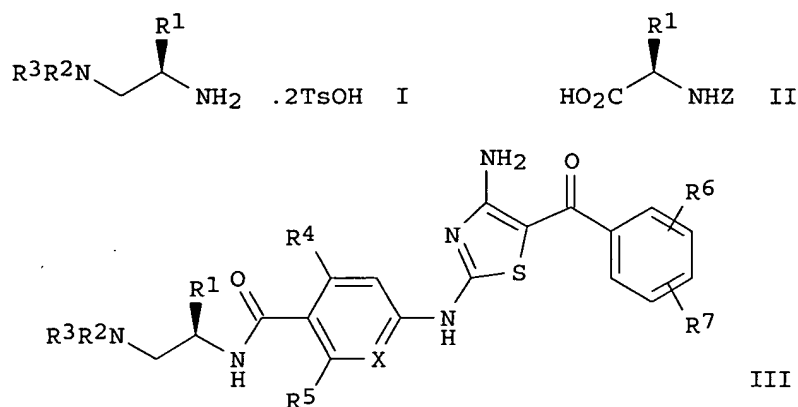
INVENTOR(S): Kucera, David John; Yvon, Brigitte Leigh



PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 20 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005026966	A1	20050203	US 2003-631358	20030730
PRIORITY APPLN. INFO.:			US 2003-631358	20030730
OTHER SOURCE(S):	CASREACT 142:197575; MARPAT 142:197575			

GI



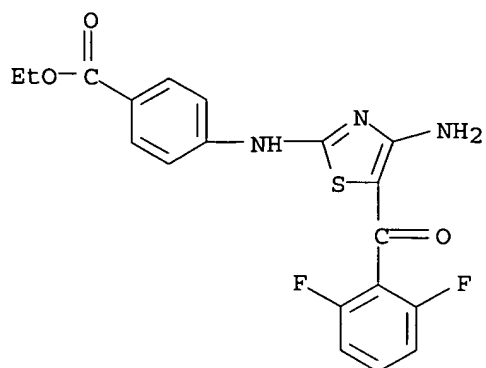
AB Title compds. [I; R1-R3 = H, (substituted) alkyl, heteroalkyl, (CR13R14)tX; t = 1-5; X = aryl, cycloalkyl, heterocyclyl; R13, R14 = H, alkyl, heteroalkyl], were prepared by treatment of amino acid derivs. (II) with R2R3NH (R1-R3 as above) to give the corresponding amides followed by N-deprotection, reduction, and conversion to the tosylate salts. I are intermediates in preparation of thiazole derivs. (III; R1-R3 as above; R4, R5 = H, halo, alkyl, OMe, OH, NH2, NHMe, NMe2, NO2, SH, SMe, SO2Me, PMe2, PO3H2; R6, R7 = H, halo, MeO, alkyl; X = C, N). Thus, Z-D-Ala-OH and HOBt.H2O in MeCN at -3° were treated with DCC in MeCN and then with Me2NH.HCl and diisopropylethylamine followed by stirring at 0° for 1.5 h, warming to room temperature, and stirring overnight to give 79% N-benzyloxycarbonyl-D-alanine dimethylamide. The latter was hydrogenolyzed in EtOH over Pd/C at 45 psi H2 to give 83% D-alanine dimethylamide. This was refluxed 17 h with LiAlH4 in THF followed by salification with p-TsOH to give 69.5% (R)-1-dimethylaminoprop-2-ylamine. bistosylate.

IT 486413-80-3P 486413-81-4P 657410-74-7P  
 657410-75-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (process for preparation of chiral diaminopropanes and thiazole compds. containing them)

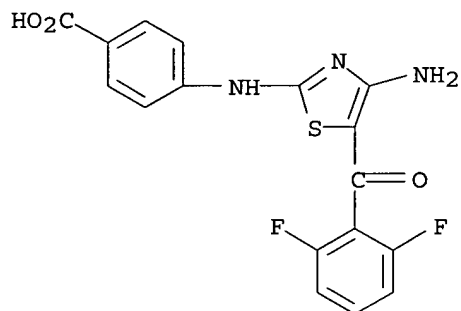
RN 486413-80-3 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



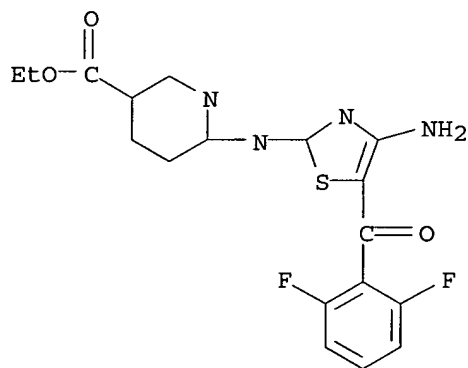
RN 486413-81-4 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-(9CI) (CA INDEX NAME)



RN 657410-74-7 HCAPLUS

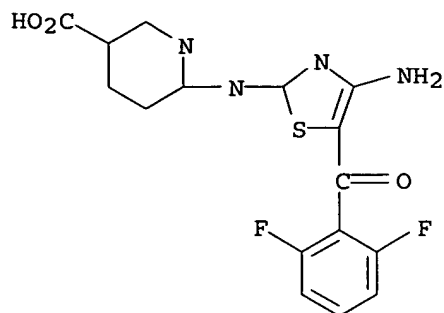
CN 3-Pyridinecarboxylic acid, 6-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 657410-75-8 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-(9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L10 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:718536 HCAPLUS

DOCUMENT NUMBER: 141:243546

TITLE: Preparation of N-heterocyclyl-substituted amino-thiazole derivatives as protein kinase inhibitors

INVENTOR(S): Alegria, Larry Andrew; Chong, Wesley Kwan Mung; Chu, Shaosong; Duvadie, Rohit Kumar; Li, Lin; Romines, William Henry, III; Yang, Yi

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 307 pp.

CODEN: PIXXD2

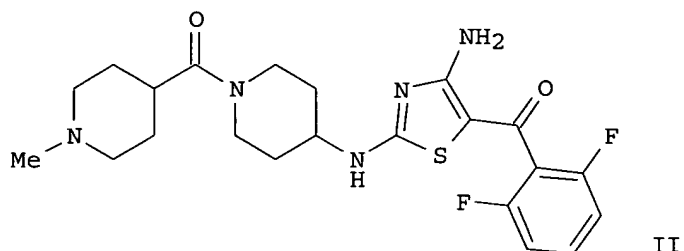
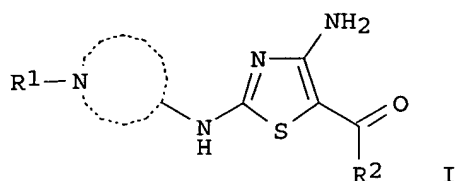
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074283	A1	20040902	WO 2004-IB433	20040209
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005101595	A1	20050512	US 2004-783887	20040220
PRIORITY APPLN. INFO.:			US 2003-448843P	P 20030221
OTHER SOURCE(S):		MARPAT 141:243546		
GI				



AB The title aminothiazole compds. with N-containing cycloalkyl at the 2-amino position [I; N-containing heterocyclyl = (un)substituted N-containing 3-10 membered heterocyclyl; R1 = H, alkyl, alkenyl, alkoxy, etc.; R2 = (un)substituted alkyl, cycloalkyl, alkoxy, aryl, 4-10 membered heterocyclyl] and their pharmaceutically acceptable prodrugs or salts which modulate and/or inhibit the cell proliferation and activity of protein kinases, were prepared Thus, reacting [4-amino-2-(piperidin-4-ylamino)thiazol-5-yl] (2,6-difluorophenyl)methanone (preparation given) with 1-methylpiperidine-4-carboxylic acid afforded 65% II which showed Ki of 0.46  $\mu$ M against CDK2, Ki of 0.13  $\mu$ M against CDK4, and IC50 of >5  $\mu$ M in HCT-116 assay for cell growth inhibition. Biol. data were given for over 1100 compds. I. The pharmaceutical compns. comprising the compound I are claimed.

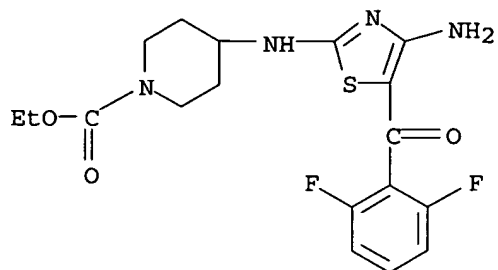
IT 750573-74-1P 750573-94-5P 750574-07-3P  
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 750578-55-3P 750578-56-4P 750578-57-5P  
 750578-58-6P 750578-59-7P 750579-36-3P  
 750579-38-5P 750579-39-6P 750579-40-9P  
 750579-41-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-heterocyclyl-substituted amino-thiazole derivs. as protein kinase inhibitors)

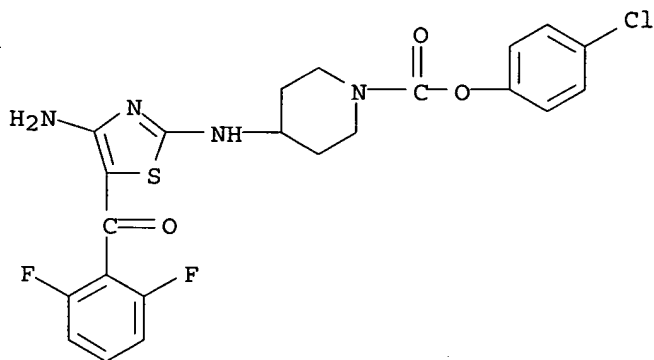
RN 750573-74-1 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



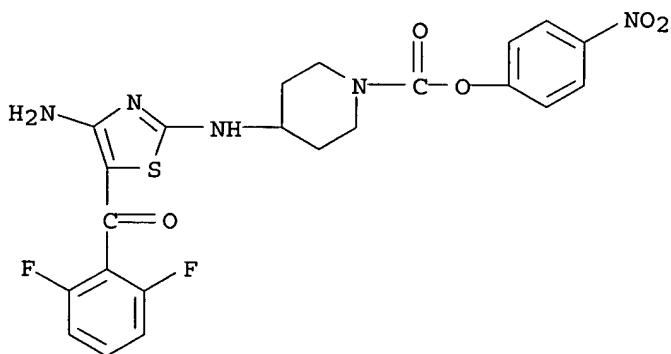
RN 750573-94-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



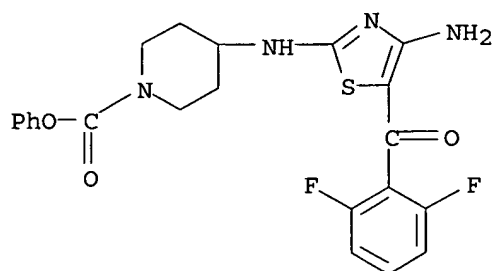
RN 750574-07-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



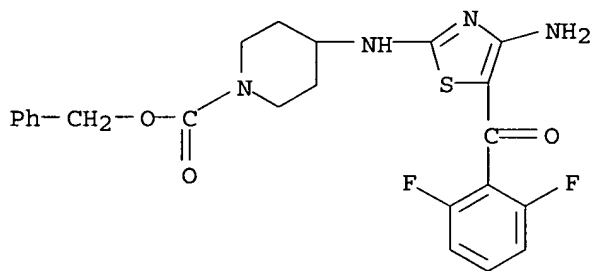
RN 750577-85-6 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, phenyl ester (9CI) (CA INDEX NAME)



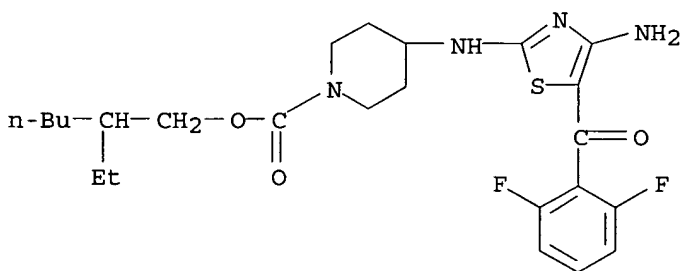
RN 750577-86-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 750577-87-8 HCAPLUS

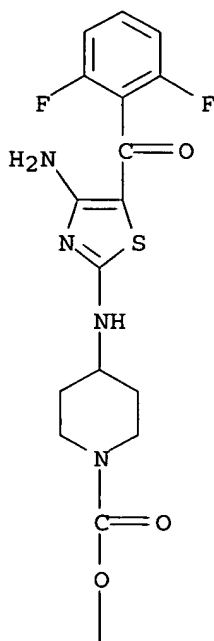
CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 2-ethylhexyl ester (9CI) (CA INDEX NAME)



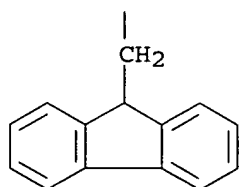
RN 750578-55-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

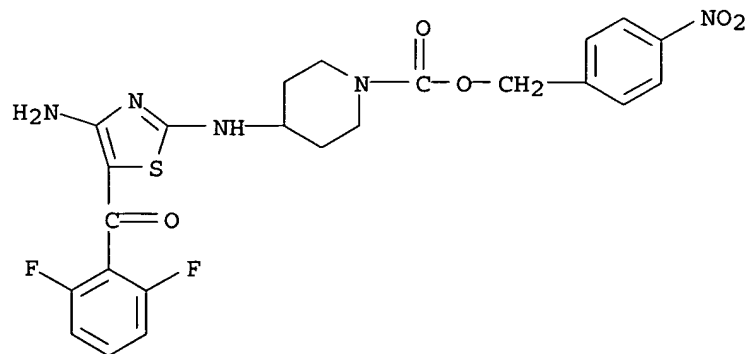


PAGE 2-A



RN 750578-56-4 HCAPLUS

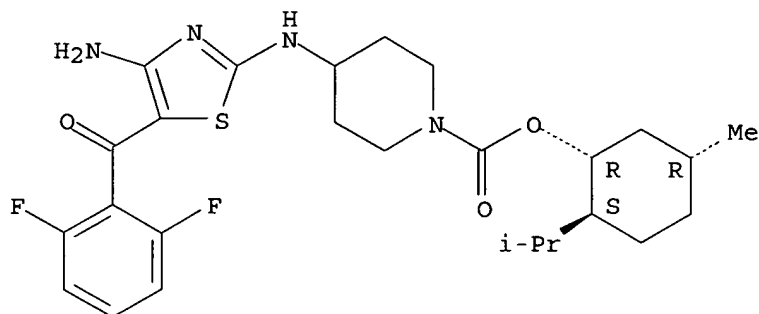
CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)



RN 750578-57-5 HCAPLUS

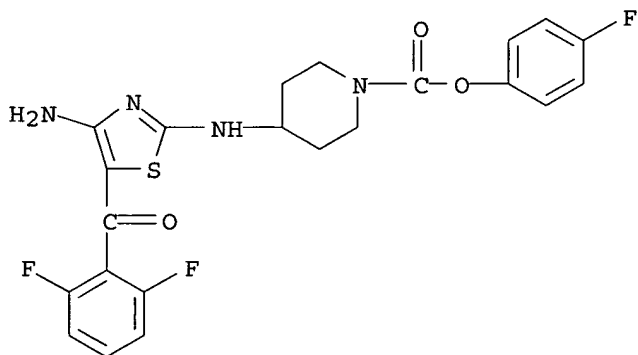
CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, (1R,2S,5R)-5-methyl-2-(1-methylethyl)cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 750578-58-6 HCAPLUS

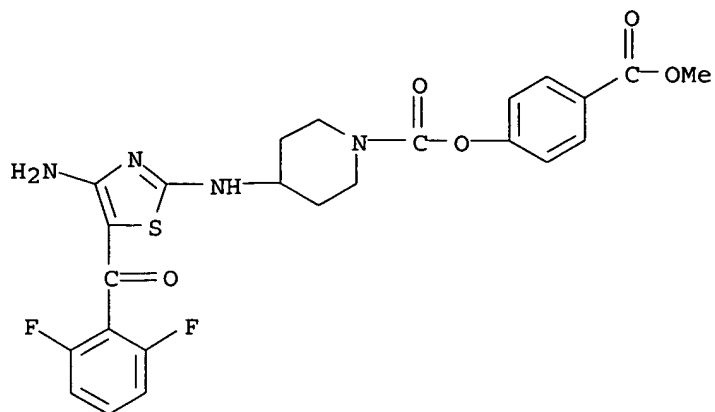
CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 4-fluorophenyl ester (9CI) (CA INDEX NAME)



RN 750578-59-7 HCAPLUS

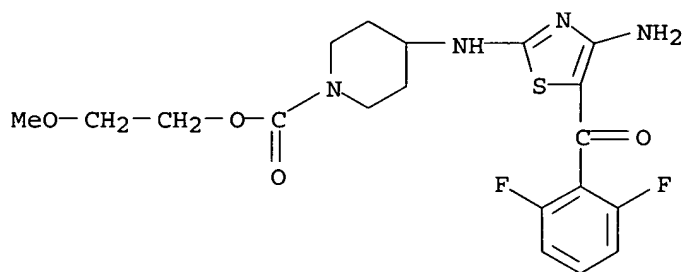
CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 4-(methoxycarbonyl)phenyl ester (9CI) (CA INDEX NAME)





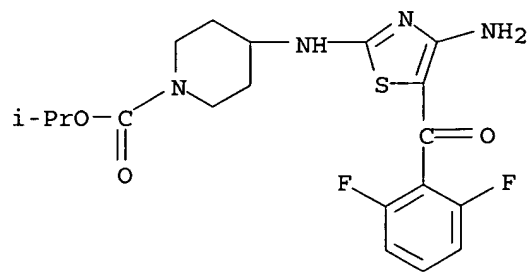
RN 750579-36-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



RN 750579-38-5 HCAPLUS

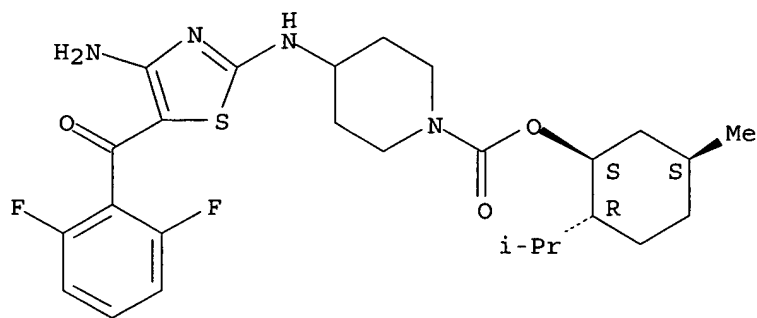
CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 750579-39-6 HCAPLUS

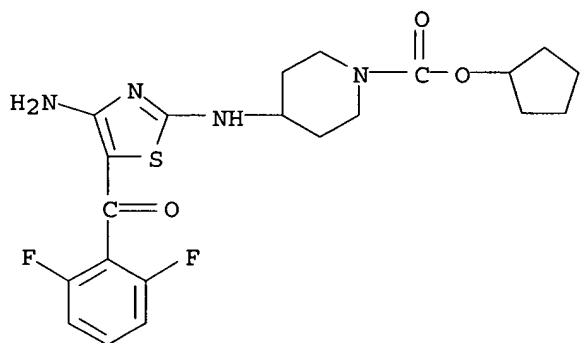
CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, (1S,2R,5S)-5-methyl-2-(1-methylethyl)cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



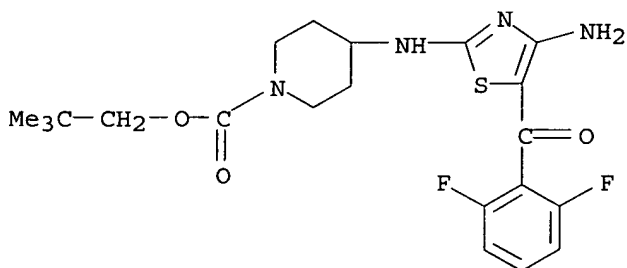
RN 750579-40-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, cyclopentyl ester (9CI) (CA INDEX NAME)



RN 750579-41-0 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)



IT 750573-78-5P 750573-80-9P 750573-82-1P

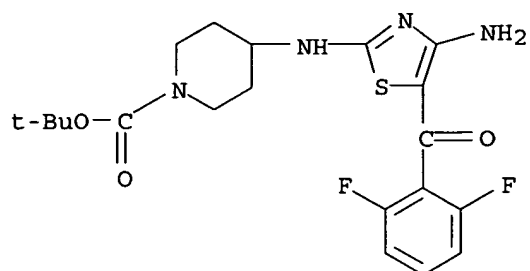
750573-85-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-heterocyclyl-substituted amino-thiazole derivs. as protein kinase inhibitors)

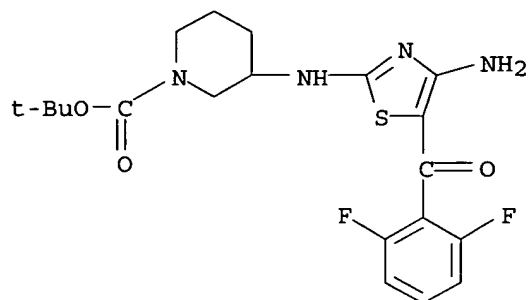
RN 750573-78-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



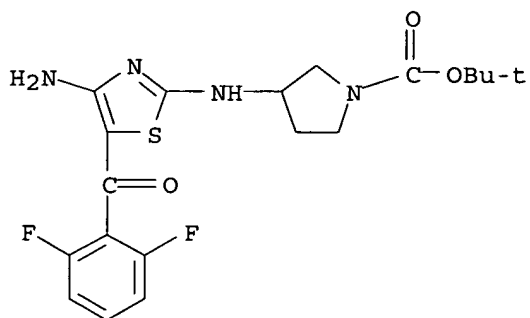
RN 750573-80-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



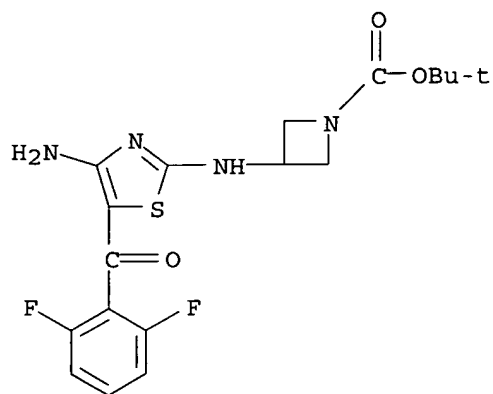
RN 750573-82-1 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 750573-85-4 HCAPLUS

CN 1-Azetidinecarboxylic acid, 3-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:143146 HCAPLUS

DOCUMENT NUMBER: 140:181441

TITLE: Preparation of antiproliferative 2-(pyridylamino)thiazole compounds

INVENTOR(S): Chong, Wesley Kwan Mung; Duvadie, Rohit Kumar; Li, Lin; Yang, Yi

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014904	A1	20040219	WO 2003-IB3181	20030729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

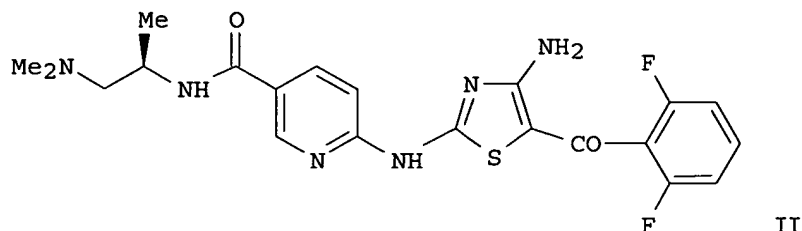
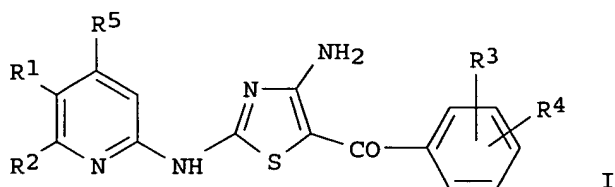
PRIORITY APPLN. INFO.:

US 2002-402408P

P 20020809

OTHER SOURCE(S): MARPAT 140:181441

GI



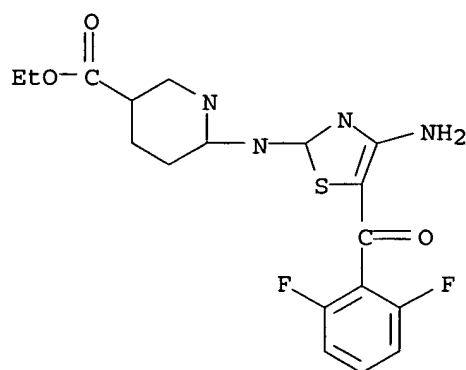
AB Thiazole derivs. of formula I [R1 = H, alkenyl, alkylamino, aryl, heteroaryl, cycloalkyl, etc.; R2, R5 = H, halo, alkyl, OMe, OH, amino, SH, SMe, etc.; R3, R4 = H, halo, OMe, alkyl] are prepared. The compds. and pharmaceutical compns. containing them may be used in inhibiting and/or modulating protein kinases, in treating or preventing diseases associated with protein kinases, and/or in treating or preventing cellular proliferative diseases. Thus, II was prepared, and had IC50 and IC90 of 0.0026 and 0.0057  $\mu$ M resp. against HCT-116 cells.

IT 657410-74-7P 657410-75-8P 657410-83-8P  
657410-84-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of antiproliferative (pyridylamino)thiazole compds.)

RN 657410-74-7 HCAPLUS

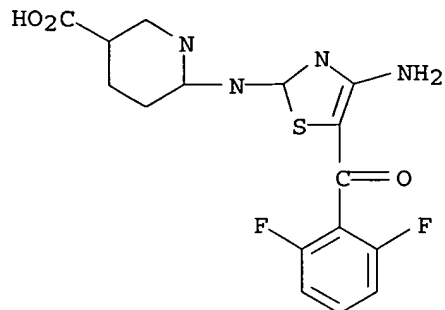
CN 3-Pyridinecarboxylic acid, 6-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 657410-75-8 HCAPLUS

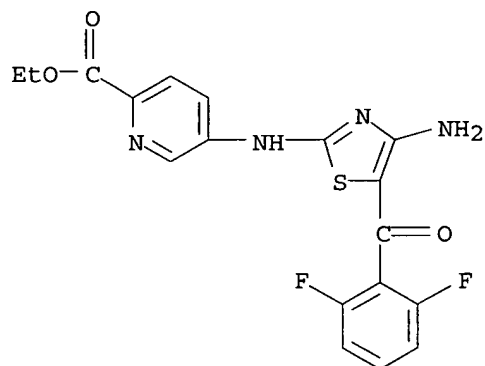
CN 3-Pyridinecarboxylic acid, 6-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

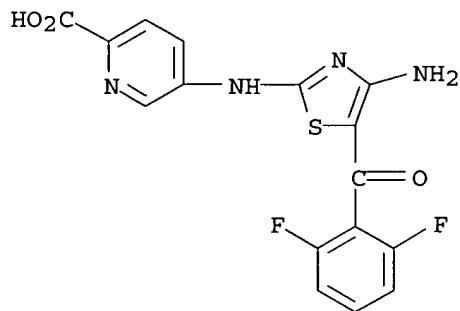
RN 657410-83-8 HCAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 657410-84-9 HCAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:117812 HCAPLUS

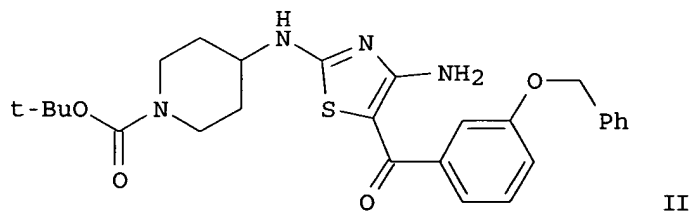
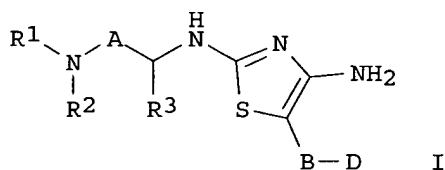
DOCUMENT NUMBER: 138:187762

TITLE: Preparation of novel 2,4-diaminothiazoles as glycogen synthase kinase-3 (GSK-3) inhibitors

## Hoffman 10\_631358- Part B

INVENTOR(S) : Bowler, Andrew Neil; Hansen, Bo Falck  
 PATENT ASSIGNEE(S) : Novo Nordisk A/S, Den.  
 SOURCE: PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011843	A1	20030213	WO 2002-DK508	20020722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2455753	AA	20030213	CA 2002-2455753	20020722
EP 1417188	A1	20040512	EP 2002-750845	20020722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002011626	A	20040824	BR 2002-11626	20020722
CN 1547574	A	20041117	CN 2002-816635	20020722
JP 2004538315	T2	20041224	JP 2003-517035	20020722
ZA 2004000733	A	20040824	ZA 2004-733	20040129
US 2004210063	A1	20041021	US 2004-770705	20040203
NO 2004000913	A	20040401	NO 2004-913	20040302
PRIORITY APPLN. INFO.:			DK 2001-1175	A 20010803
			US 2001-309953P	P 20010803
			WO 2002-DK508	W 20020722
OTHER SOURCE(S) : MARPAT 138:187762				
GI				



AB The title compds. I [A = a bond, alkylene; NR1R2 = (un)substituted 5-7

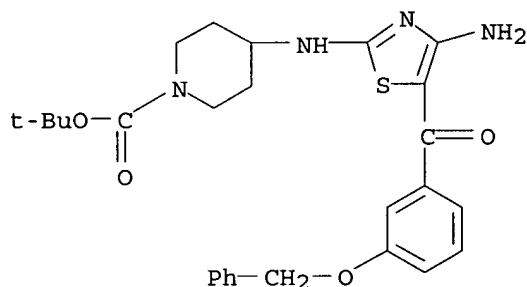
membered non-aromatic ring, which may contain a double bond and addnl. N atom; or R1 = H, alkyl, arylalkyl, etc. and R2 and R3 are connected to form , together with A and the N atom and C atom, resp., to which they are attached, a 5-7 membered non-aromatic ring; or R1, R2 = H, CO2alkyl, alkyl, etc.; R3 = H; B = a bond, CO, SO, SO2; D = OH, halo, CN, etc.] which inhibit GSK-3 (glycogen synthase kinase-3) and therefore may be useful for the treatment of disorders, syndromes, diseases and conditions, wherein an inhibition of GSK-3 (glycogen synthase kinase-3) is beneficial, especially IGT (impaired glucose tolerance), type 1 diabetes, type 2 diabetes, obesity, Alzheimer's disease and bipolar disorder, were prepared and formulated. Thus, reacting 1-(3-benzyloxyphenyl)-2-bromoethanone with N-Boc-isothiocyanatopropylamine afforded II which showed IC50 of < 1  $\mu$ M against GSK-3.

IT 496954-38-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of novel 2,4-diaminothiazoles as glycogen synthase kinase-3 (GSK-3) inhibitors)

RN 496954-38-2 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-[3-(phenylmethoxy)benzoyl]-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:42245 HCAPLUS

DOCUMENT NUMBER: 138:106689

TITLE: Preparation of thiazolylamino benzamide derivatives as modulators of cell proliferation and inhibitors of protein kinases

INVENTOR(S): Chu, Shao Song; Alegria, Larry Andrew; Bleckman, Ted Michael; Chong, Wesley K. M.; Duvadie, Rohit K.; Li, Lin; Reich, Siegfried H.; Romines, William H.; Wallace, Michael B.; Yang, Yi

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004467	A2	20030116	WO 2002-US21280	20020705



## Hoffman 10\_631358- Part B

WO 2003004467 A3 20040506

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2452609 AA 20030116 CA 2002-2452609 20020705

US 2003225147 A1 20031204 US 2002-190219 20020705

US 6720346 B2 20040413

EP 1438046 A2 20040721 EP 2002-782499 20020705

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

JP 2005521631 T2 20050721 JP 2003-510635 20020705

PRIORITY APPLN. INFO.:

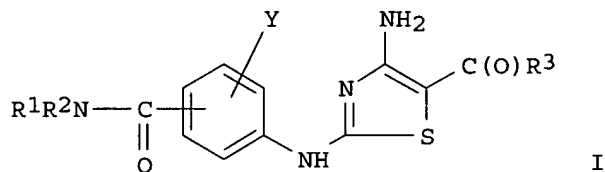
US 2001-303679P P 20010706

US 2001-305274P P 20010713

WO 2002-US21280 W 20020705

OTHER SOURCE(S): MARPAT 138:106689

GI



AB Aminothiazole compds. with mono-/di-substituted benzamides (shown as I; variables described below; e.g. 4-[[4-amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-morpholin-4-ylethyl)benzamide), and their pharmaceutically acceptable salts, pharmaceutically acceptable prodrugs, pharmaceutically active metabolites, and pharmaceutically acceptable salts of said metabolites are described. These agents modulate and/or inhibit the cell proliferation and activity of protein kinases and are useful as pharmaceuticals for treating malignancies and other disorders. Inhibitory activities towards three cyclin complexes of protein kinases, phosphorylated FGF receptor and/or LCK tyrosine kinase and/or cytotoxicity towards the HCT-116 cancer cell line are reported for hundreds of I, many of which were prepared combinatorially. For I: R1 and R2 are each independently H, or an alkyl, alkenyl, alkynyl, heteroalkyl, alkoxy, aminoalkyl, aryl, heteroaryl, cycloalkyl, or heterocycloalkyl group unsubstituted or substituted with  $\geq 1$  substituents listed in the claims, or R1 or R2, together with the N-C(O) and two adjacent C atoms of the Ph ring of I, forms a 5- or 6-membered ring structure fused to the Ph ring of I and unsubstituted or substituted with  $\geq 1$  substituents listed in the claims, or R1 and R2, taken together with the N atom to which they are bonded, form a monocyclic or fused or nonfused polycyclic structure which may contain 1-3 addnl. heteroatoms, the structure being unsubstituted or substituted with  $\geq 1$  substituents listed in the claims. R3 is an aryl, heteroaryl, alkyl, or cycloalkyl group, unsubstituted or substituted with  $\geq 1$  substituents listed in the claims. Y is H, alkyl, heteroalkyl, haloalkyl, halocycloalkyl, haloheterocycloalkyl, cycloalkyl, heterocycloalkyl, -NO<sub>2</sub>, -NH<sub>2</sub>, -N-OH,

N-ORc, -CN, -(CH<sub>2</sub>)<sub>z</sub>-CN (z is 0-4), halogen, -OH, -O-Ra-O-, -ORb, -CO-R, -O-CO-Rc, -CO-ORc, -O-CO-OR, -O-OR, =O, =S, -NRdRe, -CO-NRdRe, -O-CO-NRdRe, -NRc-CO-Re, -NR-CO-OR, -CO-NRc-CO-Rd, -O-SO<sub>2</sub>-Re, -O-SO-R, -O-S-Re, -S-CO-Rc, -SO-CO-ORc, -SO-CO-OR, -O-SO<sub>3</sub>, -NRc-SRd, -NRc-SO-Rd, NRc-SO<sub>2</sub>-Rd, -CO-SRc, -CO-SO-Re, -CO-OSO<sub>2</sub>-Rc, -CS-Rc, -CSO-R, -CSO<sub>2</sub>-R,, -NRc-CS-Rd, -O-CS-Re, -O-CSO-Rc, -O-SO<sub>2</sub>-Re, -OS<sub>2</sub>-NRdRe, -SO-NRdRe, -S-NRdRe, -NRd-CSO<sub>2</sub>-Rd, -NRc-CSO-Rd, -NRc-CS-Rd, -SH, -S-Rb, and -PO<sub>2</sub>-ORc (Ra, etc. defined in claims). Although the methods of preparation are not claimed, .apprx.80 example preps. of I are included and directions are given for combinatorial preparation of 396 I.

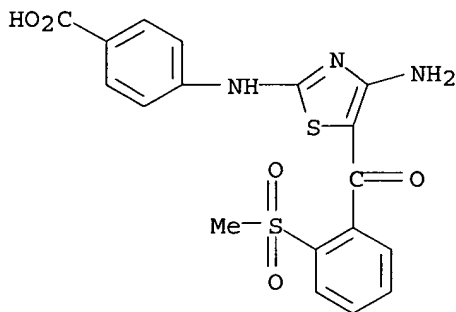
IT **486415-22-9P**, 4-[[4-Amino-5-(2-methylsulfonylbenzoyl)thiazol-2-yl]amino]benzoic Acid

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

RN 486415-22-9 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-[2-(methylsulfonyl)benzoyl]-2-thiazolyl]amino]-(9CI) (CA INDEX NAME)



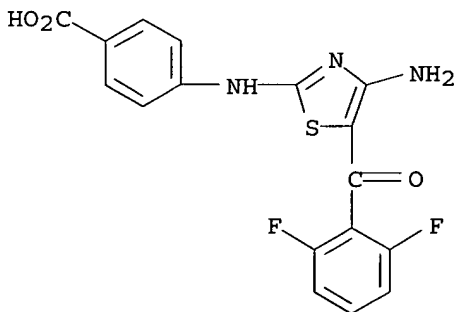
IT **486413-81-4P**, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]benzoic Acid

RL: CRT (Combinatorial reactant); RCT (Reactant); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

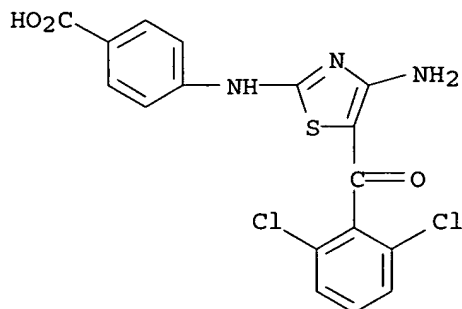
RN 486413-81-4 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-(9CI) (CA INDEX NAME)

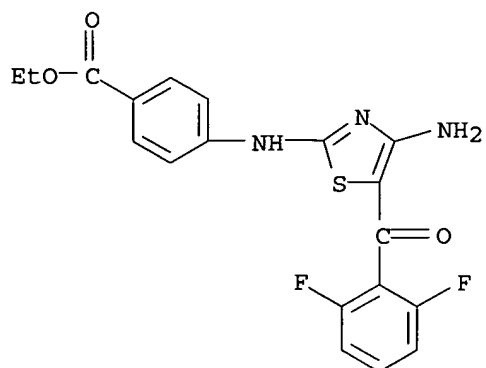


IT 223786-78-5P, 4-[[4-Amino-5-(2,6-dichlorobenzoyl)thiazol-2-yl]amino]benzoic acid 486413-80-3P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]benzoic acid Ethyl Ester 486414-92-0P, 4-[[4-Amino-5-(2-fluorobenzoyl)thiazol-2-yl]amino]benzoic Acid Ethyl Ester 486414-93-1P, 4-[[4-Amino-5-(2-fluorobenzoyl)thiazol-2-yl]amino]benzoic Acid 486415-05-8P, 4-[[4-Amino-5-(2,6-difluoro-4-methylbenzoyl)thiazol-2-yl]amino]benzoic Acid 486415-07-0P, 4-[[4-Amino-5-(2,6-difluoro-4-methylbenzoyl)thiazol-2-yl]amino]benzoic acid ethyl ester 486415-14-9P, 4-[[4-Amino-5-(2-chloro-6-fluorobenzoyl)thiazol-2-yl]amino]benzoic Acid Ethyl Ester 486415-15-0P, 4-[[4-Amino-5-(2-chloro-6-fluorobenzoyl)thiazol-2-yl]amino]benzoic Acid 486415-17-2P, 4-[[5-(2-Acetylaminobenzoyl)-4-aminothiazol-2-yl]amino]benzoic Acid Ethyl Ester 486415-18-3P, 4-[[5-(2-Acetylaminobenzoyl)-4-aminothiazol-2-yl]amino]benzoic Acid 486415-21-8P, 4-[[4-Amino-5-(2-methanesulfonylbenzoyl)thiazol-2-yl]amino]benzoic Acid Ethyl Ester 486415-38-7P, 4-[[4-Amino-5-(2,6-dichlorobenzoyl)thiazol-2-yl]amino]benzoic Acid tert-Butyl Ester 486415-45-6P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-2-chlorobenzoic acid 486415-47-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-2-hydroxybenzoic acid Phenyl Ester 486415-54-7P, 3-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]benzoic acid tert-Butyl Ester 486415-55-8P, 3-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]benzoic Acid  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

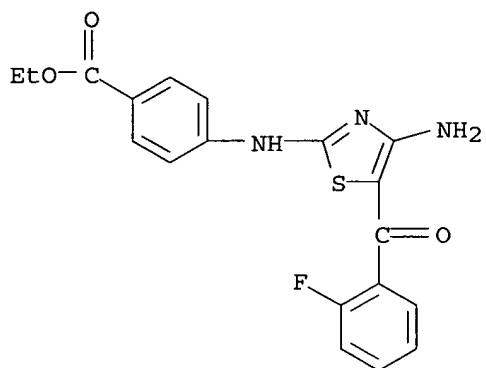
RN 223786-78-5 HCAPLUS  
 CN Benzoic acid, 4-[[4-amino-5-(2,6-dichlorobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)



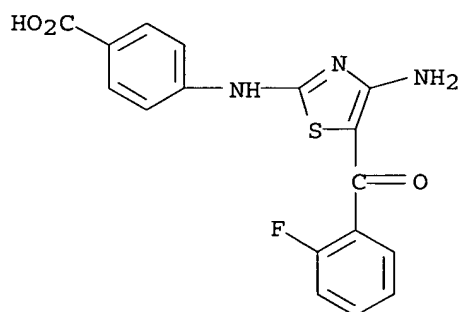
RN 486413-80-3 HCAPLUS  
 CN Benzoic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



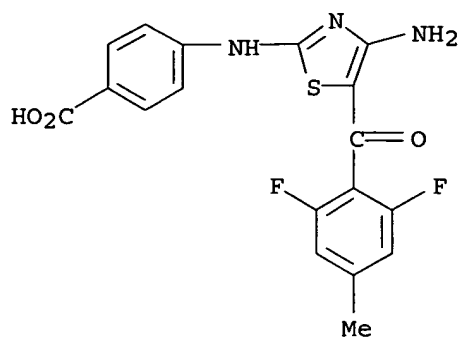
RN 486414-92-0 HCAPLUS  
 CN Benzoic acid, 4-[[4-amino-5-(2-fluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 486414-93-1 HCAPLUS  
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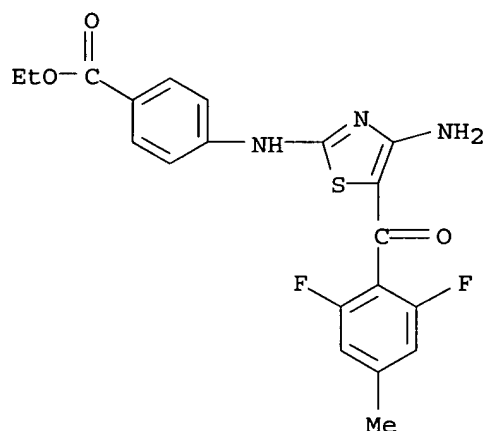


RN 486415-05-8 HCAPLUS  
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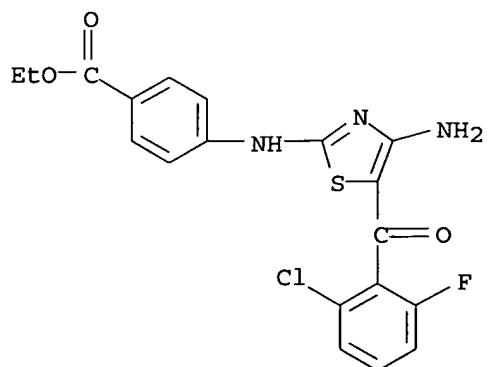
RN 486415-07-0 HCAPLUS

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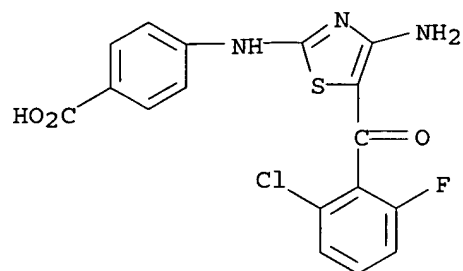
RN 486415-14-9 HCAPLUS

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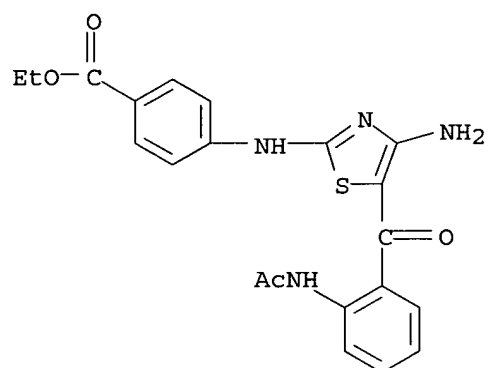
RN 486415-15-0 HCAPLUS

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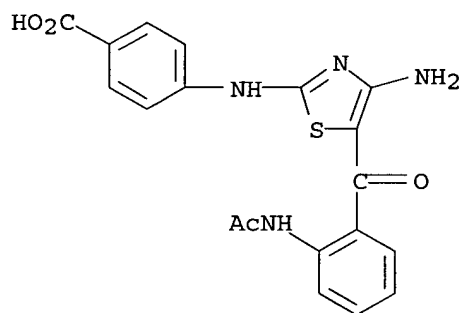
RN 486415-17-2 HCAPLUS

CN Benzoic acid, 4-[[5-[2-(acetylamino)benzoyl]-4-amino-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



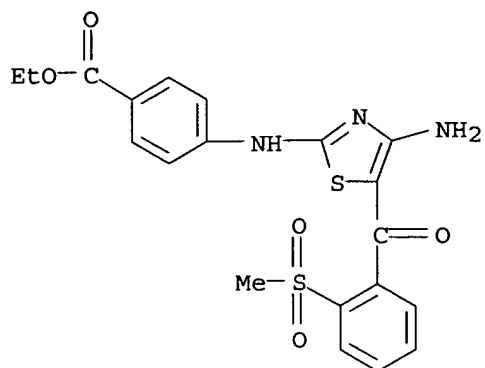
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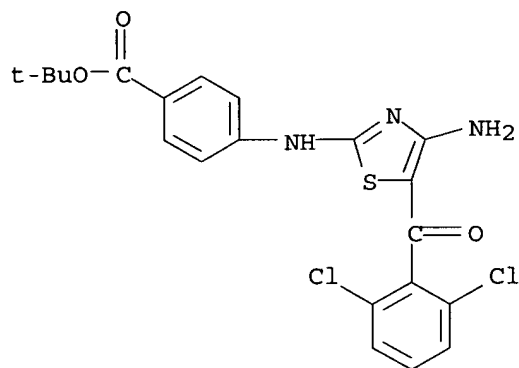
RN 486415-21-8 HCAPLUS

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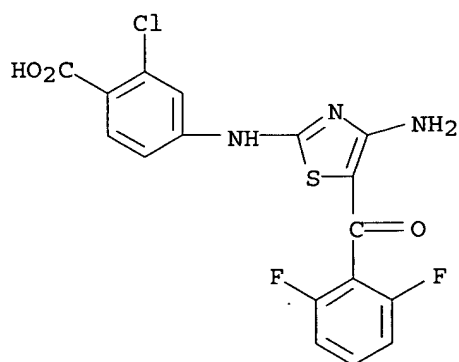
RN 486415-38-7 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-dichlorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



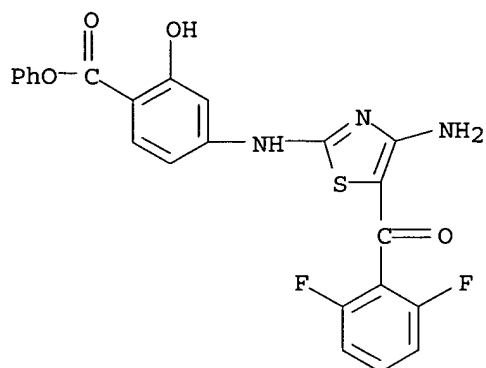
RN 486415-45-6 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-2-chloro- (9CI) (CA INDEX NAME)



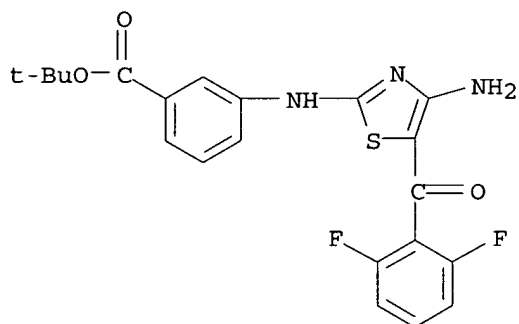
RN 486415-47-8 HCAPLUS

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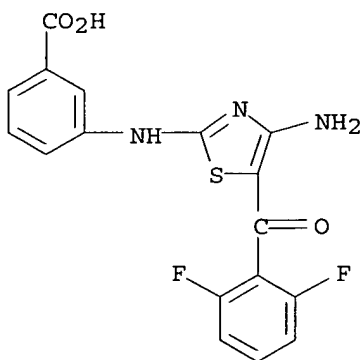
RN 486415-54-7 HCAPLUS

CN Benzoic acid, 3-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 486415-55-8 HCAPLUS

CN Benzoic acid, 3-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)



L10 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

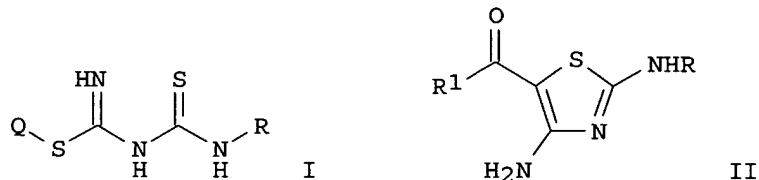
ACCESSION NUMBER: 2000:836322 HCAPLUS

DOCUMENT NUMBER: 134:162958

TITLE: A novel solid-phase approach to 2,4-diaminothiazoles



AUTHOR(S): Baer, Roman; Masquelin, Thierry  
 CORPORATE SOURCE: Department of Chemical Technologies, F. Hoffmann-La Roche AG, Basel, 4070, Switz.  
 SOURCE: Journal of Combinatorial Chemistry (2001), 3(1), 16-19  
 CODEN: JCCHFF; ISSN: 1520-4766  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 134:162958  
 GI



AB A novel solid-phase synthesis of a 2,4-diaminothiazole library starting from a polymer-bound thiouronium salt is described. The synthetic strategy involves formation of polymer-bound thioureido-thiourea intermediates I (R = Ph, 3-NCC6H4, MeO2CC6H4, 3-MeOC6H4, 4-F3CC6H4, MeO2CCH2, etc.; Q = resin) which by treatment with  $\alpha$ -bromo ketones R1COCH2Br (R1 = cyclohexyl, 4-MeOC6H4, 4-FC6H4, naphthyl, 4-BrC6H4, cyclopentyl, 2-pyridinyl, pentyl, etc.) undergoes S-alkylation, followed by a base-catalyzed intramol.-ring closure/cleavage to give 2,4-diaminothiazoles II. This strategy tolerates a wide range of functionality and protecting groups. The novel feature of our method is a polymer-supported auto-scavenging strategy, which provides a clean, high-yielding, and traceless synthesis to 2,4-diaminothiazoles.

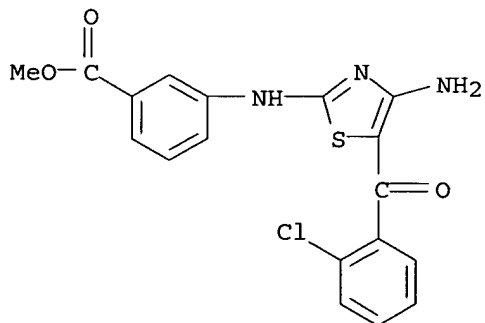
IT 325144-15-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(solid-phase preparation of diaminothiazole library via cyclization of polymer-bound thioureido-thioureas with bromo ketones)

RN 325144-15-8 HCAPLUS

CN Benzoic acid, 3-[[4-amino-5-(2-chlorobenzoyl)-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



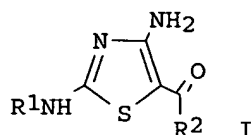
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## Hoffman 10\_631358- Part B

L10 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1999:297411 HCAPLUS  
 DOCUMENT NUMBER: 130:325142  
 TITLE: Preparation of 4-aminothiazole derivatives as  
 inhibitors of cyclin-dependent kinases  
 INVENTOR(S): Chong, Wesley K. M.; Chu, Shao Song; Duvadie, Rohit  
 R.; Li, Lin; Xiao, Wei; Yang, Yi  
 PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 172 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921845	A2	19990506	WO 1998-US22809	19981027
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306082	AA	19990506	CA 1998-2306082	19981027
AU 9913664	A1	19990517	AU 1999-13664	19981027
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TR 200001081	T2	20001023	TR 2000-200001081	19981027
EP 1056732	A2	20001206	EP 1998-957393	19981027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
SI 20324	C	20010228	SI 1998-20068	19981027
EE 200000289	A	20010615	EE 2000-200000289	19981027
BR 9815200	A	20011016	BR 1998-15200	19981027
EP 1215208	A2	20020619	EP 2002-1881	19981027
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NZ 503788	A	20021126	NZ 1998-503788	19981027
US 6569878	B1	20030527	US 1998-179744	19981027
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JP 2004500304	T2	20040108	JP 2000-517957	19981027
RO 119463	B1	20041130	RO 2000-423	19981027
NO 2000001955	A	20000616	NO 2000-1955	20000414
LT 4855	B	20011126	LT 2000-33	20000414
HR 2000000222	A1	20010228	HR 2000-222	20000417
MX 200003812	A	20001113	MX 2000-3812	20000418
LV 12592	B	20010720	LV 2000-51	20000503
BG 104478	A	20010228	BG 2000-104478	20000526
BG 64195	B1	20040430		
US 2003220326	A1	20031127	US 2003-388851	20030313
PRIORITY APPLN. INFO.:			US 1997-63634P	P 19971027
			US 1997-63666P	P 19971028
			EP 1998-957393	A3 19981027
			NZ 1998-503788	A1 19981027
			US 1998-179744	A3 19981027
			WO 1998-US22809	W 19981027
OTHER SOURCE(S):	MARPAT 130:325142			

GI



AB Title compds. [I; wherein R1 is a (un)substituted group selected from: alkyl, alkenyl, alkoxy, alc., carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, cycloalkyl; carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, aryl, etc.; R2 is a carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, ring structure having a substituent at the position adjacent to the point of attachment, which ring structure is optionally further substituted, where each substituent of R independently is a halogen, haloalkyl, C-alkyl, C-alkenyl, C-alkynyl, hydroxyl, C-alkoxy, amino, nitro, thiol, thioether, imine, cyano, amido, phosphonato, phosphine, carboxyl, thiocarbonyl, sulfonyl, sulfonamide, ketone, aldehyde, ester, oxygen, carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, cycloalkyl; or carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, aryl], a pharmaceutically acceptable salt, a prodrug, pharmaceutically active metabolite of title compound, or pharmaceutically acceptable salt thereof, are prepared as inhibitors of cyclin-dependent kinases (CDKs: CDK1, CDK2, CDK4, and CDK6) to the therapeutic or prophylactic use of pharmaceutical compns. containing such compds. and to methods of treating malignancies and other disorders by administering effective amts. of such compds. Thus, I (R1 = C6H5; R2 = 3-NO2C6H4) was prepared with 52% yield from cyanamide, isothiocyanate, and 2-bromo-3'-nitroacetophenone in the presence of sodium.

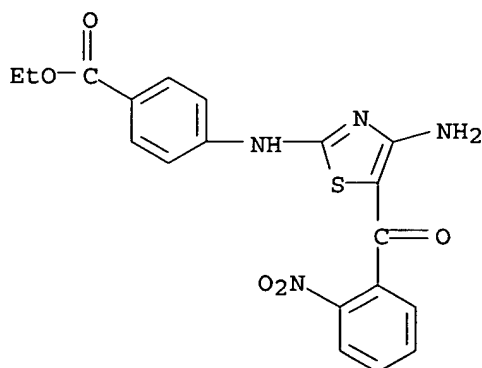
IT 223783-73-1P 223783-85-5P 223784-44-9P  
223785-39-5P 223786-67-2P 223786-68-3P  
223786-69-4P 223786-78-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of 4-aminothiazoles as inhibitors of cyclin-dependent kinases)

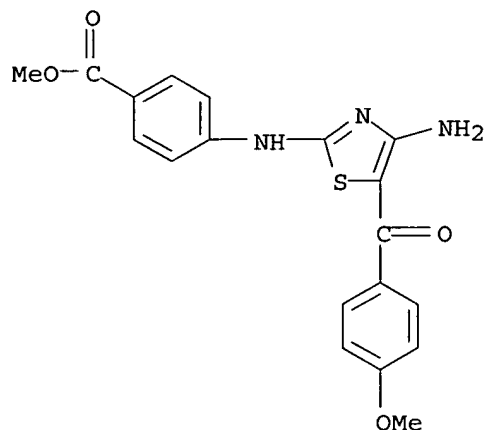
RN 223783-73-1 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2-nitrobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



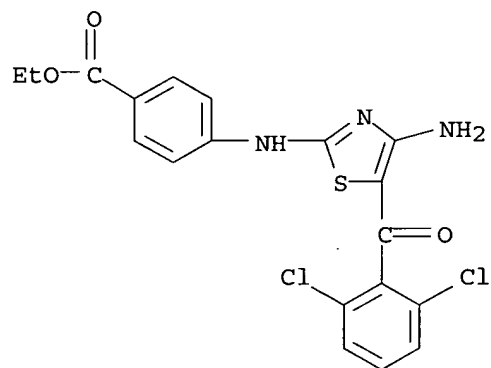
RN 223783-85-5 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(4-methoxybenzoyl)-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



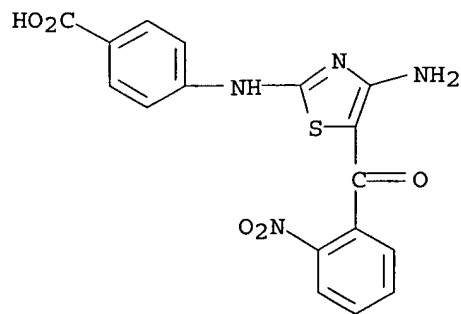
RN 223784-44-9 HCAPLUS

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RN 223785-39-5 HCAPLUS

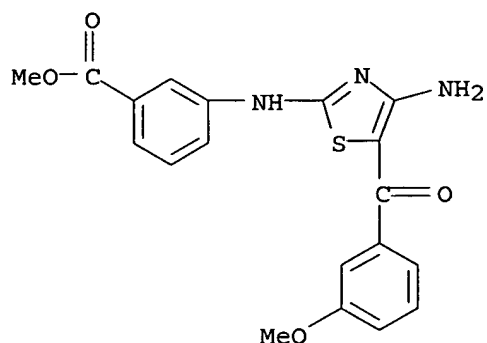
CN Benzoic acid, 4-[[4-amino-5-(2-nitrobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)



Hoffman 10\_631358- Part B

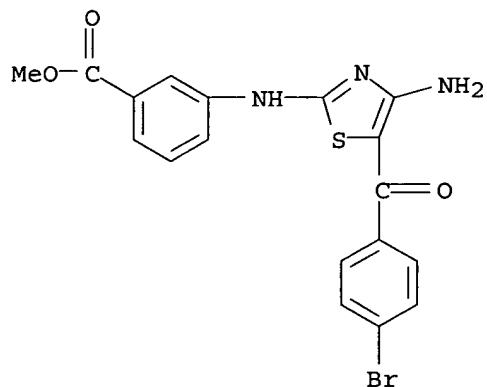
RN 223786-67-2 HCAPLUS

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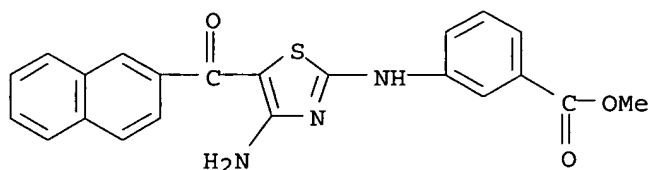
RN 223786-68-3 HCAPLUS

CN Benzoic acid, 3-[[4-amino-5-(4-bromobenzoyl)-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



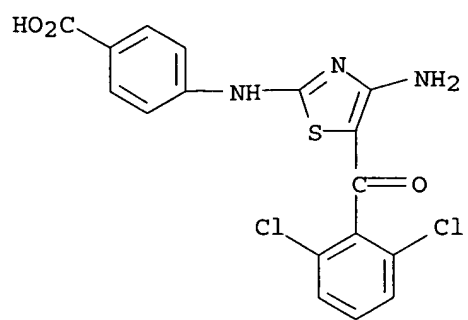
RN 223786-69-4 HCAPLUS

CN Benzoic acid, 3-[[4-amino-5-(2-naphthalenylcarbonyl)-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 223786-78-5 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-dichlorobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)



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